

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

506/395

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
18 September 2003 (18.09.2003)

PCT

(10) International Publication Number
WO 03/075660 A1(51) International Patent Classification⁷: **A01N 43/00, 43/64** (74) Common Representative: MERCK & CO., INC.; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(21) International Application Number: PCT/US03/06031

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(22) International Filing Date: 28 February 2003 (28.02.2003)

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(25) Filing Language: English

Published:

(26) Publication Language: English

— with international search report

(30) Priority Data:
60/362,275 6 March 2002 (06.03.2002) US

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(71) Applicant (*for all designated States except US*): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): FONG, Tung, M. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). VAN DER PLOEG, Leonardus, H., T. [NL/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

WO 03/075660 A1

(54) Title: METHOD OF TREATMENT OR PREVENTION OF OBESITY

(57) Abstract: The present invention relates to a method of treating or preventing obesity (or suppressing the appetite) in a human patient by antagonizing CB1 receptors and inhibiting the enzyme 11 β -HSD1 in an amount that is effective to treat or prevent obesity. Compounds useful in the present invention have an ion channel activity level greater than about 2 μ M. Preferably the compound is a dual selective inhibitor, selectively antagonizing CB1 receptors and selectively inhibiting the enzyme 11 β -HSD1.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US03/061031

A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A01N 43/00, 43/64
US CL : 514/183, 359

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
U.S. : 514/183, 359

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
Please See Continuation Sheet

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A, P	WO 03/007887 A2 (MERCK & CO., INC.) 30 January 2003 (30.01.2003), see entire document.	1-28

Further documents are listed in the continuation of Box C.

See patent family annex.

* Special categories of cited documents:	"T"	later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"A" document defining the general state of the art which is not considered to be of particular relevance	"X"	document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"E" earlier application or patent published on or after the international filing date	"Y"	document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"&"	document member of the same patent family
"O" document referring to an oral disclosure, use, exhibition or other means		
"P" document published prior to the international filing date but later than the priority date claimed		

Date of the actual completion of the international search

19 May 2003 (19.05.2003)

Date of mailing of the international search report

13 JUN 2003

Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US
Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450
Facsimile No. (703)305-3230

Authorized officer,

Michael Pak

Telephone No. 703-308-1235

Form PCT/ISA/210 (second sheet) (July 1998)

BEST AVAILABLE COPY

INTERNATIONAL SEARCH REPORT

PCT/US03/06031

Continuation of B. FIELDS SEARCHED Item 3:
BRS, STN, MEDLINE

search terms: cannabinoid receptor, imidazole, hydroxysteroid dehydrogenase